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CAS ONLINE PRINTOUT

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(FILE 'HOME' ENTERED AT 06:52:02 ON 26 JAN 2003)

FILE 'REGISTRY' ENTERED AT 06:52:13 ON 26 JAN 2003
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L3 7 S L1 FUL CSS

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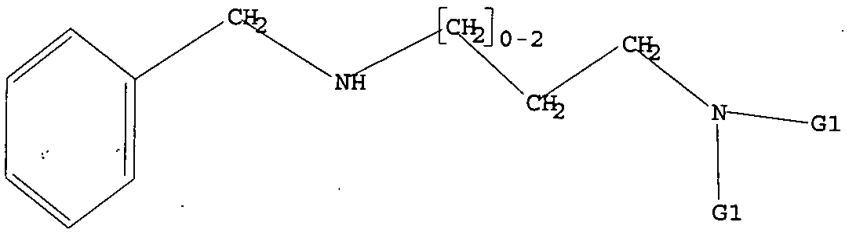
L1 STR



G1 Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> d ide bib abs 1-7

L3 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2003 ACS
RN 343593-67-9 REGISTRY
CN 1,3-Propanediamine, N,N-dibutyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H32 N2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

$(n\text{-}Bu)_2N-(CH_2)_3-NH-CH_2-Ph$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 135:33362 CA
TI Preparation of tertiary amino compounds having opioid receptor affinity
IN Kyle, Donald; Goehring, R. Richard; Victory, Sam
PA Euro-Celtique, S.A., Luxembourg
SO PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001039767	A1	20010607	WO 2000-US33047	20001206

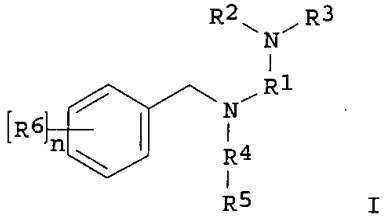
CAS ONLINE PRINTOUT

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001041746 A1 20011115 US 2000-730814 20001206
EP 1244437 A1 20021002 EP 2000-983942 20001206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI US 1999-169396P 19991206
WO 2000-US33047 20001206

GI



I

AB The title compds. [I; R1 = a bond, alkenylene, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4 = a bond, alkenylene, etc.; R5 = H, 5-6 membered (hetero)aryl, cycloalkyl; R6 = alkyl, cycloalkyl, halo; n = 0-3], useful for the treatment of chronic and acute pain, were prepd. Thus, reacting benzaldehyde with 3-(dibutylamino)propylamine in the presence of NaBH4, 3.ANG. mol. sieves in MeOH followed by amidataion of the resulting I [R1 = (CH2)3; R2, R3 = Bu; R4 = a bond; R5, R6 = H] with phenylacetic acid in the presence of EDCl and DMAP in THF afforded I [R1 = (CH2)3; R2, R3 = Bu; R4 = COCH2; R5 = Ph; R6 = H] which showed Ki of 40 nM against opioid receptor .mu. binding.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2003 ACS
RN 108621-83-6 REGISTRY
CN 1,3-Propanediamine, N'-benzyl-N,N-diethyl-, dihydrochloride (6CI) (CA INDEX NAME)
MF C14 H24 N2 . 2 Cl H
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)
CRN (92377-05-4)

$Et_2N-(CH_2)_3-NH-CH_2-Ph$

2 HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

CAS ONLINE PRINTOUT

L3 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2003 ACS
RN 104295-18-3 REGISTRY
CN Ethylenediamine, N'-benzyl-N,N-diethyl-, dipicrate (6CI) (CA INDEX NAME)
MF C13 H22 N2 . 2 C6 H3 N3 O7
SR CAOLD
LC STN Files: CAOLD

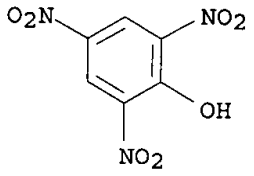
CM 1

CRN 15855-37-5
CMF C13 H22 N2

$Et_2N-CH_2-CH_2-NH-CH_2-Ph$

CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2003 ACS
RN 92377-05-4 REGISTRY
CN 1,3-Propanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,3-Propanediamine, N'-benzyl-N,N-diethyl- (7CI)
FS 3D CONCORD
MF C14 H24 N2
CI COM
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, USPATFULL
(*File contains numerically searchable property data)

$Et_2N-(CH_2)_3-NH-CH_2-Ph$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 127:234215 CA
TI Preparation of non-peptidyl vasopressin V1a receptor antagonists
IN Bruns, Robert F., Jr.; Cooper, Robin D. G.; Dressman, Bruce A.; Hunden, David C.; Kaldor, Stephen W.; Koppel, Gary A.; Rizzo, John R.; Skelton, Jeffrey James; et al.

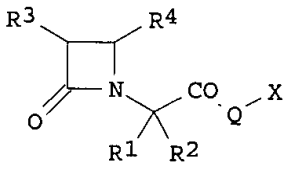
CAS ONLINE PRINTOUT

PA Eli Lilly and Co., USA; Bruns, Robert F., Jr.; Cooper, Robin D. G.; Dressman, Bruce A.; Hunden, David C.; Kaldor, Stephen W.; Koppel, Gary A.
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9730707	A1	19970828	WO 1997-US3039	19970220
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9719779	A1	19970910	AU 1997-19779	19970220
	EP 939632	A1	19990908	EP 1997-907895	19970220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
	JP 2000504731	T2	20000418	JP 1997-529647	19970220
	US 6204260	B1	20010320	US 1999-125737	19990819
	US 2002049187	A1	20020425	US 2000-733430	20001208

PRAI	US 1996-12149P	19960223
	US 1996-12188P	19960223
	US 1996-12215P	19960223
	GB 1996-5044	19960309
	GB 1996-5045	19960309
	GB 1996-5046	19960309
	WO 1997-US3039	19970220
	US 1999-125737	19990819

GI



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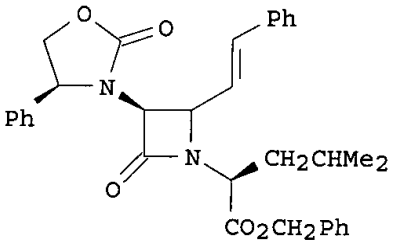


II

AB Azetidinones I [R1 = H, alkyl, carbamoyl, alkoxy, acyl, benzoyl, phenyl; R2 = H, OH, alkyl; R3 = phthalimido, azido, phenoxyacetamido, oxazolinyl, imidazolinyl, pyrrolidinyl, ureido; Q = O, S, NR5; X = H, alkyl; R5 = H, alkyl, OH, alkoxycarbonyl, benzyl] were prepd. for use as vasopressin V1a receptor antagonists. Thus, azetidinone II was prepd. starting from L-leucine benzyl ester, cinnamaldehyde, and 2-[4(S)-phenyloxazolidin-2-on-3-yl]acetyl chloride. II gave an IC50 value of 39 nM when tested for vasopressin V1a receptor binding affinity.

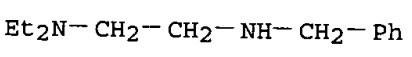
REFERENCE 2

AN 59:35302 CA
TI Preparation of new esters and basic amides of some acids which act as

CAS ONLINE PRINTOUT

plant growth regulators. III. Amides
AU Thuillier, G.; Dumont, J. M.; Vilar, A.; Rumpf, P.
CS C.N.R.S., Bellevue
SO Bull. Soc. Chim. France (1963), (5), 1087-90
DT Journal
LA Unavailable
AB In 60 ml. anhyd. Et2O was dissolved 19 g. p-chlorophenoxyacetyl chloride and the soln. treated at <5.degree. with 15 g. N,N-diethyl-N'-propylethylenediamine. By pptg. the HCl salt and subsequent liberation of the base by K2CO3, 54% N-(diethylaminoethyl)-N-propyl-p-chlorophenoxyacetamide, b0.25 176-7.degree., was obtained. Similarly prepd. were N-(diethylaminoethyl)-N-methyl-p-chlorophenoxyacetamide, b0.1 163-4.degree., N-(diethylaminoethyl)-N-butyl-p-chlorophenoxyacetamide, b0.4 189-90.degree. and N,N-bis(diethyl- aminoethyl)-p-chlorophenoxyacetamide, b0.1 192-5.degree.. Diethylaminoethylamine (20 g.) in abs. alc. yielded 55% of N,N,N'-triethylethylenediamine (I), b18 65-70.degree., after 10 hrs. refluxing with 18.7 g. EtBr. I was treated with p-chlorophenoxyacetyl chloride to give 68% of N-(diethylaminoethyl)-N-ethyl-p-chlorophenoxyacetamide, b0.3 187-9.degree.. At 60.degree., 40 g. BzH in 180 ml. C6H6 was treated with 72.4 g. N,N-diethylpropane-1,3-diamine and refluxed 4 hrs. giving 80% of benzylidenediethylaminopropylamine (II), b0.2 100-2.degree.. Hydrogenation at 50.degree. and at 25 kg./cm.2 of II using Raney Ni, yielded 87% of N,N-diethyl-N'-benzylpropane-1,3-diamine, b0.1 97-102.degree., which the p-chlorophenoxyacetyl chloride gave 60% of N-(3-diethylaminopropyl)-N-benzyl-p-chlorophenoxyacetamide, b0.3 205-8.degree.. Et .alpha.-(p-chlorophenoxy)propionate (65 g.) and 90 g. 3-(diethylaminopropylamine heated for 3-4 hrs. at 120-30.degree. yielded 70% of N-(3-diethylaminopropyl)-.alpha.-(p-chlorophenoxy)propionamide, b0.2 173.degree.. Similarly prepd. were N-(3-dimethylaminopropyl)-.alpha.-(p-chlorophenoxy)propionamide, b0.15 159-60.degree., N-(3-diethylaminopropyl)-.alpha.-(p-bromophenoxy)propionamide, b0.25 193-6.degree., N-(3-diethylaminopropyl)-.alpha.-(2,4-dichlorophenoxy)propionamide, b0.25 189-90.degree., N-(3-diethylaminopropyl)-.alpha.-(2-methyl-4-chlorophenoxy)propionamide, b0.8 185-7.degree., N-(3-ethylaminopropyl)-p-chlorophenoxyacetamide-HCl, m. 143.degree., N-(3-methylaminopropyl)-p-chlorophenoxyacetamide-HCl, m. 141.degree., N-(3-methylaminopropyl)-.alpha.-(p-chlorophenoxy)propionamide-HCl, m. 149.degree., N-(3-aminopropyl)-.alpha.-(p-chlorophenoxy)propionamide-HCl, m. 147.degree., N-(3-methylaminopropyl)-2-methyl-4-chlorophenoxyacetamide-HCl, m. 134.degree., N-3-ethylaminopropyl-2-methyl-4-chlorophenoxyacetamide-HCl, m. 100.degree., N-(3-diisopropylaminopropyl)-p-chlorophenoxyacetamide, b0.1 185.degree., and N-(3-dibutylaminopropyl)-p-chlorophenoxyacetamide, b0.3 195.degree..

L3 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2003 ACS
RN 40172-11-0 REGISTRY
CN 1,2-Ethanediamine, N,N-diethyl-N'-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Ethylenediamine, N'-benzyl-N,N-diethyl-, hydrochloride (6CI)
MF C13 H22 N2 . Cl H
LC STN Files: CA, CAOLD, CAPLUS, TOXCENTER
CRN (15855-37-5)



HCl

CAS ONLINE PRINTOUT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

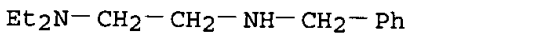
REFERENCE 1

AN 78:72181 CA
TI 8-Aminotheophylline derivatives
PA Laboratoire Lebrun S. A.
SO Fr. Demande, 15 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2116302	A5	19720713	FR 1970-43891	19701207
	FR 2116302	B1	19740621		
PRAI	FR 1970-43891		19701207		

GI For diagram(s), see printed CA Issue.
AB 8-Aminotheophyllines I (R = alkyl, aralkyl, hydroxyalkyl, chloroalkyl, aminoalkyl; R1 = alkyl, aralkyl, aminoalkyl; NRR1 = substituted piperazino, piperidino, pyrrolidino) (52 compds.) were prepd. by treating 8-chlorotheophylline or 8-bromotheophylline with RR1NH. I displayed coronary dilator, diuretic, spasmolytic, and bronchodilator activities greater than that of theophylline, accompanied by lower toxicity.

L3 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2003 ACS
RN 15855-37-5 REGISTRY
CN 1,2-Ethanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Ethylenediamine, N'-benzyl-N,N-diethyl- (6CI)
CN Ethylenediamine, N,N-diethyl-N'-benzyl- (8CI)
FS 3D CONCORD
MF C13 H22 N2
CI COM
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

9 REFERENCES IN FILE CA (1962 TO DATE)
9 REFERENCES IN FILE CAPLUS (1962 TO DATE)
4 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

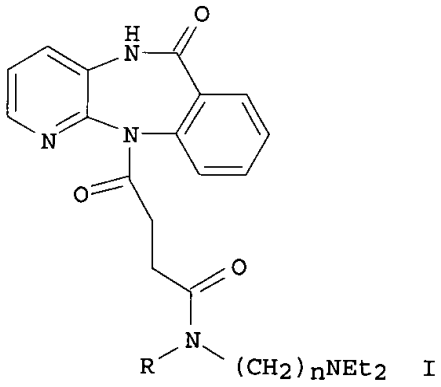
AN 127:220715 CA
TI An efficient one-pot synthesis of 3-(aryl and alkyl)methylene-1H-isoindolin-1-ones via aryne cyclization and Horner reaction of o-(and m-)halo-N-(phosphinylmethyl)benzamide derivatives
AU Couture, Axel; Deniau, Eric; Grandclaudon, Pierre
CS Laboratoire de Chimie Organique Physique, URA CNRS, Universite des Sciences et Technologies de Lille, Villeneuve d'Ascq, F-59655, Fr.
SO Tetrahedron (1997), 53(30), 10313-10330
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier

CAS ONLINE PRINTOUT

DT Journal
LA English
AB 3-(Alkyl and aryl)methylene-2,3-dihydro-1H-isoindol-1-one derivs. were synthesized by a 1-pot reaction sequence involving lithiation of 2- (or 3-)halo-N-(phosphinylmethyl)benzamides, cyclization of the aryne intermediate, metal migration and Horner reaction of the resulting phosphorylated aminocarbanion with selected arom. and aliph. aldehydes.

REFERENCE 2

AN 127:149125 CA
TI Synthesis of novel succinamide derivatives having the 5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one skeleton as potent and selective M2 muscarinic receptor antagonists. I
AU Watanabe, Toshihiro; Kinoyama, Isao; Kakefuda, Akio; Okazaki, Toshio; Takizawa, Kenji; Hirano, Seiko; Shibata, Hiroshi; Yanagisawa, Isao
CS Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba City, 305, Japan
SO Chemical & Pharmaceutical Bulletin (1997), 45(6), 996-1007
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
GI



I

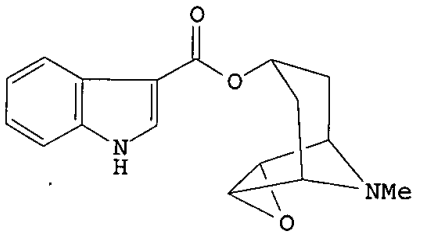
AB A series of 5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one derivs. contg. the succinamide skeleton, e.g., I (R = H, Me, CH2Ph, etc., n = 2-4), has been synthesized and evaluated for M1, M2 and M3 muscarinic receptor binding affinities (in vitro) and M2 and M3 muscarinic receptor antagonistic activities (in vivo). Some of them showed higher and more selective binding affinities for M2 muscarinic receptors than that of AF-DX 116. Among them, 11-[3-[N-[2-(N-benzyl-N-methylamino)ethyl]-N-ethylcarbamoyl]propionyl]-5 ,11-dihydro-6H-pyrido[2,3,-b][1,4]benzodiazepin-6-one was found to be the most potent and selective M2 muscarinic receptor antagonist in vitro. This compd. also strongly inhibited the oxotremorine-induced bradycardia after i.v. administration and showed 130-fold selectivity for M2 muscarinic receptors over M3 muscarinic receptors in vivo.

REFERENCE 3

AN 119:225779 CA

CAS ONLINE PRINTOUT

TI Design and synthesis of novel ligands for the 5-HT3 and the 5-HT4 receptor
AU Blum, E.; Buchheit, K. H.; Buescher, H. H.; Gamse, R.; Kloeppner, E.; Meigel, H.; Papageorgiou, C.; Waelchli, R.; Revesz, L.
CS Preclin. Res., Sandoz Pharma AG, Basel, CH-4002, Switz.
SO Bioorganic & Medicinal Chemistry Letters (1992), 2(5), 461-6
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI



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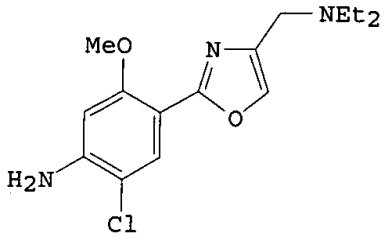

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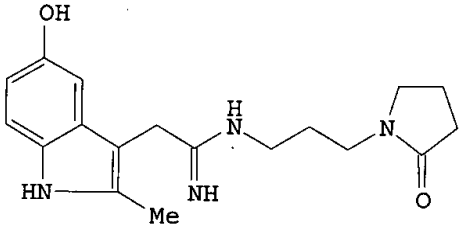


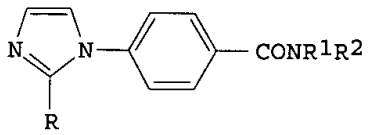
III

AB A novel highly potent 5-HT3 antagonist and Tropisetron analog I is described with an increased efficacy to inhibit cisplatin induced emesis in ferrets. Four novel structural classes of gastroprokinetic benzamide bioisosteres, e.g., II, are presented. 5-HT derivs., e.g., III, are described as ligands of the recently discovered 5-HT4 receptor.

REFERENCE 4

AN 112:158146 CA
TI Synthesis and cardiac electrophysiological activity of N-substituted-4-(1H-imidazol-1-yl)benzamides - new selective class III agents
AU Morgan, Thomas K., Jr.; Lis, Randall; Lumma, William C., Jr.; Nickisch, Klaus; Wohl, Ronald A.; Phillips, Gary B.; Gomez, Robert P.; Lampe, John W.; Di Meo, Susan V.; et al.
CS Med. Chem. Dep., Berlex Lab., Inc., Cedar Knolls, NJ, 07927, USA
SO Journal of Medicinal Chemistry (1990), 33(4), 1091-7
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI

CAS ONLINE PRINTOUT



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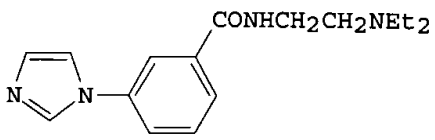

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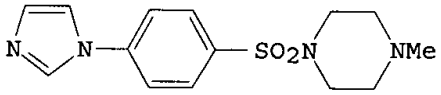
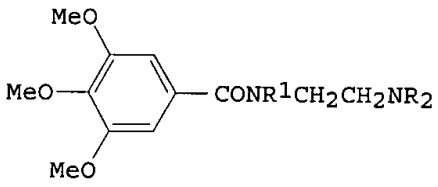


III

AB The synthesis and cardiac electrophysiol. activity of 18 N-substituted imidazolylbenzamides, e.g. I [R = H, Me; R1 = H, 1-naphthyl, CH2Ph; R2 = CH2CH2NEt2, CH2CH2NH2, (CH2)3NH2, CH2CH2NHCH2Ph, etc.] and II or benzenesulfonamides, e.g., III, are described. I [R = R1 = H, R2 = CH2CH2NEt2, CH2CH2NHCH2Ph, (CH2)3NH2] and II exhibited potency in the in vitro Purkinje fiber assay comparable to that of sematilide (IV), a potent selective Class III agent which is undergoing clin. trials. These data indicate that the 1H-imidazol-1-yl moiety is a viable replacement for the methylsulfonylamino group for producing Class III electrophysiol. activity in the N-substituted benzamide series. I (R = R1 = H, R2 = CH2CH2NH2) was further studied in two in vivo models of reentrant arrhythmias and showed potency and efficacy comparable to those of IV.

REFERENCE 5

AN 95:186802 CA
TI Studies on antiarrhythmics. I. Synthesis of 3,4,5-trimethoxybenzamide derivatives
AU Liu, Yi-Sun; Zhou, Zhi-Shan; Gu, Ke-Jia; Liang, Cheng-Yi
CS Fac. Pharm., First Med. Coll. Shanghai, Shanghai, Peop. Rep. China
SO Yaoxue Xuebao (1981), 16(2), 158-60
CODEN: YHHPAL; ISSN: 0513-4870
DT Journal
LA Chinese
GI



I

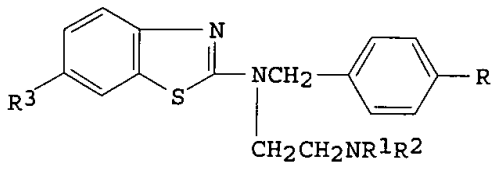
AB Benzamides I [R, R1 = Ph, Et (II); Ph, Me (III); 2-MeC6H4, Et (IV); H, Et; PhCH2, Et] were prepd. by amidation of 3,4,5-(MeO)3C6H2COCl with R1NHCH2NR2. II, III and IV exhibited significant antiarrhythmic activity at 10.0-18.1 mg/kg.

REFERENCE 6

AN 90:103887 CA
TI Synthesis and pharmacodynamic study of new benzothiazole derivatives
AU Foscolos, G.; Tsatsas, G.
CS Greece
SO Praktika tes Akademias Athenon (1977), Volume Date 1976, 51(A), 274-91
CODEN: PAATAK; ISSN: 0369-8106

CAS ONLINE PRINTOUT

DT Journal
LA French
GI

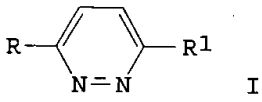


I

AB Benzothiazoles I (R = H, OMe, Me, Cl; NR1R2 = NMe2, NEt2, piperidino, morpholino; R3 = OMe, Cl, Me, OEt) (21 compds.) were prepd. by treating 4-RC6H4CHO with R1R2NCH2CH2NH2, hydrogenating the resulting Schiff bases, treating R1R2NCH2CH2NHCH2C6H4R-4 with 4-R3C6H4NCS, and cyclizing 4-R3C6H4NHCSN(CH2C6H4R-4)CH2CH2NR1R2 with Br. Various I have sympatholytic, muscle relaxant, analgesic, neuroleptic, sedative, and cerebral vasodilating activity.

REFERENCE 7

AN 88:152532 CA
TI 3-Hydrazino pyridazine derivatives. Part II. Synthesis and antihypertensive activity of new 3-hydrazino-6-monoalkylaminopyridazine
AU Parravicini, F.; Scarpitta, G.; Dorigotti, L.; Pifferi, G.
CS Lab. Ric. I.S.F., Italseber S.p.A., Milan, Italy
SO Farmaco, Edizione Scientifica (1978), 33(2), 99-105
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA Italian
GI



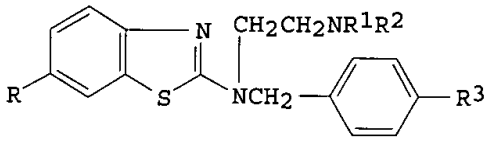
I

AB The title compds. (I, R = NHR2, R1 = NHNH2, R2 = CHMe2, CH2CH2NEt2, CH2CH2OH, CH2CHMeOH) were prepd. by treating I (R = R1 = Cl) with R2NHCH2Ph, treating I (R = NR2CH2Ph, R1 = Cl) with N2H4-PhCHO, and treating I (R = NR2CH2Ph, R1 = NHN:CHPh) with concd. HCl. I (R = NHR2, R1 = NHNH2) had an antihypertensive ED25 0.15-0.3 mg/kg i.v. in rats and an adrenolytic ED50 0.3-0.7 mg/kg i.v. in cats.

REFERENCE 8

AN 88:121037 CA
TI Synthesis and pharmacological study of new compounds of benzothiazole
AU Foscolos, G.; Tsatsas, G.; Champagnac, A.; Pommier, M.
CS Lab. Pharm. Chem., Univ. Athens, Athens, Greece
SO Annales Pharmaceutiques Francaises (1977), 35(7-8), 295-307
CODEN: APFRAD; ISSN: 0003-4509
DT Journal
LA French
GI

CAS ONLINE PRINTOUT



I

AB Benzothiazoles I (R = OMe, Cl, Me, OEt; NR1R2 = NMe2, NEt2, piperidino, pyrrolidino, morpholino; R3 = H, OMe, Cl) were prepd. by treating R1R2NCH2CH2NH2 with 4-R3C6H4CHO, reducing R1R2NCH2CH2N:CHC6H4R3-4, treating R1R2NCH2CH2NHCH2C6H4R3-4 with 4-RC6H4NCS, and cyclizing 4-RC6H4NHCSN(CH2C6H4R3-4)CH2CH2NR1R2 with Br. I had sedative, anticonvulsant, analgesic, muscle relaxant, antihistaminic, parasympatholytic, and sympatholytic activities.

REFERENCE 9

AN 67:43520 CA
TI Synthesis of .beta.-dialkylaminoethyl derivatives of 2,6-dichloro- and 2,6-dimethylbenzaldoxime with local anesthetic properties
AU Rossi, Silvano; Pirola, Ornella; Selva, Flavio
CS Lab. Farm., Maestretti S.p.A., Milan, Italy
SO Farmaco, Edizione Scientifica (1967), 22(3), 172-86
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA Italian
AB By alkylation of a mixt. of syn- (I), and anti-2,6-dichlorobenzaldoxime (II), and a mixt. of syn- (III), and anti-2,6-dimethylbenzaldoxime (IV), with .beta.-dialkylaminoethyl chlorides, the corresponding N-, and O-alkyl derivs. were simultaneously obtained. O-Alkylated derivs. were also prepd. by condensation of the corresponding 2,6-disubstituted benzaldehydes with O-(.beta.-dialkylaminoethyl)hydroxylamines. The alkylated isomers were sepd. and structures detd. Thus, from a mixt. of I and II, m. 125-50.degree., by reaction with .beta.-diethylaminoethyl chloride hydrochloride (V) and MeONa, a mixt. of O - (VI), and N - (.beta. - diethylaminoethyl) - 2,6 - dichlorobenzaldoxime (VII) was obtained. VI and VII were sepd. as perchlorates, m. 81-3.degree., and 139-41.degree., resp., and as hydrochlorides (VIII, and IX, resp.), m. 142-3.degree., and 169.degree., resp. Hydrogenation of VIII gave benzylamine hydrochloride and diethylaminoethanol hydrochloride; picrate m. 76-8.degree.. IX, by hydrogenation, gave N,N-diethyl-N'-benzylethylenediamine, b. 95-7.degree., prepd. also from N-diethylaminoacetylbenzylamine by treatment with LiAlH4. By reaction of I with V and MeONa, a mixt. of VI and VII was obtained. By the same reaction, II gave only VII. By reaction of a mixt. of I and II with .beta.-dimethylaminoethyl chloride hydrochloride (X) and MeONa, a mixt. of O- (XI) and N-(.beta.-dimethylaminoethyl)-2,6-dichlorobenzaldoxime (XII) was obtained. XI and XII were sepd. as perchlorates (XIII and XIV, resp.), m. 111-13.degree., and 168-9.degree., resp. From XIV the following salts were prepd.: oxalate, m. 160-2.degree.; hydrochloride, m. 180-2.degree.. From XIII the following salts were prepd.: hydrochloride, m. 162.degree.; citrate, m. 131.degree.; oxalate, m. 152-3.degree.. XI was prepd. also from 2,6-dichlorobenzaldehyde by reaction with O-(.beta.-dimethylaminoethyl)hydroxylamine dihydrochloride and AcONa. The base was isolated as perchlorate identical with XIII. By reaction of III and IV, m. 80-110.degree., with V and MeONa, a mixt. of O- (XV) and N-(.beta.-diethylaminoethyl)-2,6-dimethylbenzaldoxime (XVI) was obtained. The two bases were isolated as perchlorates, m. 89-91.degree., and 158-9.degree., resp. The hydrochlorides (XVII and XVIII, resp.), were also prepd., m. 151-2.degree., and 138-9.degree., resp. XVIII, on

hydrogenation, gave an oil that was characterized by treatment with BzCl and perchloric acid: N-benzoyl-N-2,6-dimethylbenzyl-N'-diethylethylenediamine perchlorate, m. 116-17.degree., was obtained. XVII, by hydrogenation, gave 2,6-dimethylbenzylamine hydrochloride (XIX), m. 260.degree. (from which the Bz deriv. was prepd., m. 136-7.degree.), and diethylaminoethanol, isolated as its picrate, m. 75-7.degree.. XIX, by reaction with Et3N and chloroacetyl chloride, gave N-chloroacetyl-2,6-dimethylbenzylamine (XX), m. 160.degree.. XX, by reaction with Et2NH, gave N-diethylaminoacetyl-2,6-dimethylbenzylamine (XXI); perchlorate m. 209-10.degree.; hydrochloride m. 137-8.degree.. XXI, by reaction with LiAlH4, gave N-(2,6-dimethylbenzyl)-N',N'-diethylethylenediamine, b0.8 144-6.degree., from which the Bz deriv. was prepd. and characterized as perchlorate, m. 115-16.degree.. By reaction of III and IV with X and MeONa, a mixt. of O-, and N-(.beta.-dimethylaminoethyl)-2,6-dimethylbenzaldoxime was obtained. The two bases were sepd. and characterized as perchlorates, m. 116-19.degree., and 178-80.degree., resp.; hydrochlorides m. 153-4.degree. and 164-5.degree., resp.; oxalates m. 149-50.degree. and 132-3.degree., resp. The purity of all the products prepd. was controlled by thin layer chromatog. Rf values are reported. Several of the synthesized compds. show local anesthetic activity.

L3 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2003 ACS
RN 15095-68-8 REGISTRY
CN Ethylenediamine, N'-benzyl-N,N-diethyl-, dihydrochloride (8CI) (CA INDEX NAME)
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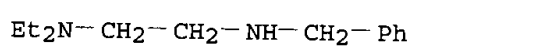
LC STN Files: CA, CAPLUS
CRN (15855-37-5)



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 68:59497 CA
TI Reductive ring cleavage of 1,3-disubstituted imidazolium iodides by sodium borohydride
AU Godefroi, Erik F.
CS Janssen Pharmaceut. N. V., Beerse, Belg.
SO Journal of Organic Chemistry (1968), 33(2), 860-2
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
AB The effect of NaBH4 on some simple, dissimilarly substituted imidazolium iodides with a benzyl substituent on 1 of the N atoms was investigated. In all cases, reductive ring cleavage occurred giving 2 isomers which were sepd. by their HCl salts. NaBH4 cleaves the imidazolium ring predominantly between the C-2 and the N atom bearing the benzyl group.

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